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                  Web Page for STN Seminar Schedule - N. America
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NEWS 4 AUG 13 CA/Caplus enhanced with additional kind codes for granted
                  patents
NEWS 5 AUG 20 CA/Caplus enhanced with CAS indexing in pre-1907 records
NEWS 6 AUG 27 Full-text patent databases enhanced with predefined
                  patent family display formats from INPADOCDB
NEWS 7 AUG 27
                  USPATOLD now available on STN
NEWS 8 AUG 28 CAS REGISTRY enhanced with additional experimental
                  spectral property data
NEWS 9 SEP 07 STN AnaVist, Version 2.0, now available with Derwent
                  World Patents Index
NEWS 10 SEP 13 FORIS renamed to SOFIS
NEWS 11 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 12 SEP 17 CA/CAplus enhanced with printed CA page images from
                  1967-1998
NEWS 13 SEP 17 CAplus coverage extended to include traditional medicine
                  patents
NEWS 14 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches
                  Zentralblatt
NEWS 16 OCT 19 BEILSTEIN updated with new compounds
NEWS 17 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 18 NOV 19 WPIX enhanced with XML display format
NEWS 19 NOV 30 ICSD reloaded with enhancements
NEWS 20 DEC 04 LINPADOCDB now available on STN
NEWS 21 DEC 14 BEILSTEIN pricing structure to change
NEWS 22 DEC 17 USPATOLD added to additional database clusters
NEWS 23 DEC 17
                 IMSDRUGCONF removed from database clusters and STN
NEWS 24 DEC 17
                  DGENE now includes more than 10 million sequences
NEWS 25 DEC 17
                  TOXCENTER enhanced with 2008 MeSH vocabulary in
                  MEDLINE segment
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NEWS 26 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary NEWS 27 DEC 17 CA/CAplus enhanced with new custom IPC display formats

NEWS 28 DEC 17 STN Viewer enhanced with full-text patent content from USPATOLD

NEWS 29 JAN 02 STN pricing information for 2008 now available

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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NEWS LOGIN Welcome Banner and News Items
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chain nodes :
7 8 9 10 11 12 13 14 15 16 17 19 20 21 23 24 25 26 27 28 29 30
31 32 33 34
ring nodes :
1 2 3 4 5 6
chain bonds :
1-29 1-30 2-20 3-31 3-32 4-33 4-34 5-7 6-27 6-28 7-8 7-16 7-17 8-9
8-24 8-25 9-10 9-19 9-26 10-11 10-14 11-12 11-13 14-15 20-21 21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 2-20 3-4 4-5 5-6 5-7 7-8 7-16 7-17 9-10 9-19 10-11 10-14
11-12 20-21 21-23
exact bonds :
1-29 1-30 3-31 3-32 4-33 4-34 6-27 6-28 8-9 8-24 8-25 9-26 11-13 14-15
isolated ring systems :
containing 1 :
```

G1:Cy, Ak

G2:Cb, Ak

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS 20:Atom 21:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 29:CLASS 31:CLASS 31:CLA

L1 STRUCTURE UPLOADED

=> s 11 full1

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and can be combined with text terms.

=> s 11 full

FULL SEARCH INITIATED 18:13:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 837 TO ITERATE

100.0% PROCESSED 837 ITERATIONS

51 ANSWERS

SEARCH TIME: 00.00.01

L2 51 SEA SSS FUL L1

=> file caplus

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L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:630134 CAPLUS

DOCUMENT NUMBER: 145:103718

TITLE: Preparation of (piperazinylsulfonylmethyl)alkynyl hydroxamates and analogs as matrix metalloprotease

inhibitors and medical uses thereof

INVENTOR(S): Swinnen, Dominique; Bombrun, Agnes; Gerber, Patrick; Jorand-Lebrun, Catherine

Applied Research Systems Ars Holding N.V., Neth. PATENT ASSIGNEE(S):

Antilles

SOURCE: PCT Int. Appl., 151 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE				ICAT		DATE					
WO	2006067114				A1 200606			0629		WO 2	005-	EP56	20051219					
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,	
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
	VN, YU, ZA,			ZM,	ZW													
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KZ,	MD,	RU,	TJ,	TM											
AU	2005	3181	63		A1		2006	0629		AU 2	005-	3181	20051219					
CA	CA 2589367						2006	0629		CA 2	005-	2589	367					
EP	P 1828160						2007	0905		EP 2	005-	8263	20051219					
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		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	
		BA,	HR,	MK,	YU													
KR	KR 2007095950						2007	1001	KR 2007-716256						20070716			
RIORIT	IORITY APPLN. INFO.:									EP 2004-106814					A 20041221			
										US 2	004-	6382	57P	1	P 2	0041	222	
										WO 2	005-	EP56	910	1	W 2	0051	219	
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$$\begin{array}{c} \text{HO} & \text{CHO} \\ \text{N} & \text{N} & \text{CHO} \\ \text{N} & \text{CHO} \\ \text{R1} & \text{N} & \text{CH2} \\ \text{P} & \text{CH2} \\ \text{N} & \text{CHO} \\ \text{OND} & \text{N} \\ \text{CSC} \\ \text{C} & \text{C} \\ \text{O} & \text{O} \\ \text{O} \\ \text{O} & \text{O} \\ \text{O} \\ \text{O} & \text{O} \\ \text{O}$$

AB Title compds. I (wherein A. B = (un) substituted CH2; R1 = (hetero) arvl or (hetero)cycloalkyl; R2 = H, alkyl, alkenyl or alkynyl; R3 = H, alkyl, (hetero)aryl, etc.; X = C, CH or N; Y = CH, CH2, -C=CH-, etc.; m = 0-2, n= 0-1; p = 1-2] and stereoisomers or pharmaceutically acceptable salts thereof were prepared as matrix metalloprotease (MMP) inhibitors. Some related intermediates were claimed. For instance, successive lithiation of 1-(4-fluorophenvl)-4-(methylsulfonvl)piperazine with lithium bis(trimethylsilyl)amide, reaction with di-Et chlorophosphate, olefination with 3-(1,3-Benzodioxol-5-yl)-2-propynal (69% yield for three steps), nucleophilic addition of the resultant α, β -unsatd. sulfone with hydroxylamine (81% yield), and N-formylation with formic acetic anhydride generated in situ from acetic anhydride and formic acid (50% yield) gave hydroxamate II. This product showed inhibition against MMP-1 and MMP-12 with IC50 values of > 5000 nM and 46 nM, resp. Other biol. activities were also disclosed. Therefore, I and their pharmaceutical compns. are useful for the treatment and/or prophylaxis of autoimmune disorders, inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, cancer, respiratory diseases and fibrosis.

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IT 895573-30-5P 895573-54-3P 895573-58-7P 895573-63-4P 895573-99-P 895573-94-1P 895574-00-2P 895574-01-3P 895574-08-0P 895574-10-P 895574-24-0P 895574-30-8P 895574-31-9P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (piperazinylsulfonylmethyl)alkynyl hydroxamates and analogs as matrix metalloprotease inhibitors and medical uses thereof)

RN 895573-30-5 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]-4-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 895573-54-3 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(3-pyridinyl)-3-butynyl]sulfonyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 895573-58-7 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(3-methoxyphenyl)-3-butynyl]sulfonyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 895573-63-4 CAPLUS

CN Piperazine, 1-[[5-(diethylamino)-2-(formylhydroxyamino)-3pentynyl]sulfonyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Et}_{2}\text{N}-\text{CH}_{2}-\text{C} = \text{C}-\text{CH}-\text{CH}_{2}-\text{S} \\ \text{OHC}-\text{N} \\ \text{OH} \end{array}$$

- RN 895573-92-9 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-4-phenyl-3-butynyl]sulfonyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

- RN 895573-94-1 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

- RN 895574-00-2 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]-4-(2methoxyphenyl)- (9CI) (CA INDEX NAME)

- RN 895574-01-3 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]-4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 895574-08-0 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]-4-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

RN 895574-16-0 CAPLUS

CN Piperazine, 1-(4-ethoxyphenyl)-4-[[2-(formylhydroxyamino)-3nonynyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 895574-21-7 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-phenyl-3-butynyl]sulfonyl]-4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 895574-24-0 CAPLUS

<12/04/2007>

CN Piperazine, 1-(4-ethoxyphenyl)-4-[[2-(formylhydroxyamino)-4-phenyl-3-butynyl]sulfonyl]- (9CI) (CA INDEX NAME)

- RN 895574-30-8 CAPLUS
- CN Piperazine, 1-(4-ethoxyphenyl)-4-[[2-(formylhydroxyamino)-3,3-dimethyl-6-phenyl-5-hexynyl]sulfonyl]- (9CI) (CA INDEX NAME)

- RN 895574-31-9 CAPLUS
- CN Piperazine, 1-(3,4-dimethoxyphenyl)-4-[[2-(formylhydroxyamino)-3nonynyl]sulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:14380 CAPLUS

DOCUMENT NUMBER: 142:114099

TITLE: Preparation of N-[(4-substituted piperazine-1sulfonylmethyl)alkyl]-N-hydroxyformamides as

metalloproteinase inhibitors

INVENTOR(S): Finlay, Maurice Raymond Verschovle; Waterson, David

AstraZeneca AB, Swed.; AstraZeneca UK Limited PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 57 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

	KIND DATE																		
											20040623								
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	ΝI,		
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							TZ,												
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							RU,												
							GR,												
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	2529468																		
EP		1644340							GB, GR, IT, LI, LU,										
	K:																		
ON	1010						RO,											HK	
CIN	CN 1812974				A.		2006	0802	CN 2004-80018244						20040623				
DR.	BR 2004011929 JP 2007516164			т		2000	0621		DK 2	004-	5164	20040623							
MY	MA 300ED3134E0			7		2007	0021	MX 2005-PA13460					20040623						
US 2007197542																			
	NO 2006000444 ORITY APPLN. INFO.:					A 20000322				SE 2003-1922									
	ONIII ALLEN. INFO.:										004-								
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AB The title compds. I [ring B = monocyclic ary] ring having six ring atoms or a monocyclic heteroaryl ring having up to six ring atoms and containing one or more ring heteroatoms wherein each said heteroatom is nitrogen; R2 = alkyl or aryl, which said group is substituted by one or more fluorine groups; n = 1-3; R1 = (un)substituted slkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkyl-aryl, alkyl-neteroaryl, alkyl-aryl, alkyl-neteroaryl, alkyl-heteroaryl, alkyl-heteroaryl slayl-heteroaryl slayl-neteroaryl slayl slayl-neteroaryl slayl-n

disclosed.

IT 823197-00-8P 823197-01-9P 823197-02-0P
823197-06-4P 823197-04-2P 823197-05-3P
823197-06-4P 823197-00-8P 823197-08-6P
823197-06-4P 823197-10-0P 823197-11-1P
823197-12-2P 823197-11-3P 823197-11-1P
823197-12-5P 823197-16-6P 823197-17-7P
823197-18-8P 823197-19-9P 823197-20-2P
823197-21-3P 823197-22-4P 823197-23-5P
823197-24-6P 823197-25-7P 823197-26-8P
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-[(4-substituted piperazine-1-sulfonvlmethyl)alkyl]-N-

(preparation of N-[(4-substituted piperazine-1-sulfonylmethyl)alkyl]-Nhydroxyformamides as metalloproteinase inhibitors) 23122 00 CAPLIC

RN 823197-00-8 CAPLUS

CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-5-(2pyrimidinyl)pentyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyrimidinyl](9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-01-9 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4[5-(2,2,2-trifluoroethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 823197-02-0 CAPLUS

CN Piperazine, 1-[[4-5-fluoro-2-pyrimidiny1)-2-(formylhydroxyamino)butyl]sul fonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 823197-03-1 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-2-(tetrahydro-2H-pyran-4-yl)ethyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OH} \\ & \text{OHC} \\ & \text{N} \\ & \text{N} \\ & \text{O} \end{array}$$

RN 823197-04-2 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 823197-05-3 CAPLUS

CN Piperazine, 1-[[4-(5-fluoro-2-pyrimidiny1)-2-(formylhydroxyamino)buty1]sul fonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 823197-06-4 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-2-(tetrahydro-2H-pyran-4-yl)ethyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

$$\texttt{F}_3\texttt{C}-\texttt{C}\texttt{H}_2-\texttt{O} \\ \texttt{N} \\ \texttt{N} \\ \texttt{N} \\ \texttt{N} \\ \texttt{N} \\ \texttt{O} \\$$

10/513699

- RN 823197-07-5 CAPLUS
- CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-4-(2pyrimidinyl)butyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyridinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 823197-08-6 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)

- RN 823197-09-7 CAPLUS
- CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-2-(tetrahydro-2H-pyran-4-yl)ethyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-10-0 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-2-phenylethyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

- RN 823197-11-1 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

- F2CH-CF2-0
- RN 823197-12-2 CAPLUS
- CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 823197-13-3 CAPLUS
- CN Piperazine, 1-[[4-(5-fluoro-2-pyrimidinyl)-2-(formylhydroxyamino)butyl]sul fonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

F2CH-CF2-0

- RN 823197-14-4 CAPLUS
- CN Piperazine, 1-[[(28)-4-(5-fluoro-2-pyrimidinyl)-2-(formylhydroxyamino) butyl) sulfonyl)-4-[4-(1,1,2,2tetrafluoroethoxy) phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 823197-15-5 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

F2CH-CF2-0

- RN 823197-16-6 CAPLUS
- CN Piperazine, 1-[[(25)-2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 823197-17-7 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)buty1]sulfony1]-4-[4-(1,1,2,2-tetrafluoroethoxy)pheny1]- (9CI) (CA INDEX NAME)

- RN 823197-18-8 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)propyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

- RN 823197-19-9 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

F3C-CH2-O

- RN 823197-20-2 CAPLUS
- CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-4-(2pyrimidinyl)butyl]sulfonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 823197-21-3 CAPLUS
- CN Piperazine, 1-[[4-(5-fluoro-2-pyrimidiny1)-2-(formylhydroxyamino)buty1]sul fonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

F3C-CH2-0

- RN 823197-22-4 CAPLUS
- CN Piperazine, 1-[[(28)-4-(5-fluoro-2-pyrimidiny1)-2-(formylhydroxyamino)butyl]sulfony1]-4-[4-(2,2,2-trifluoroethoxy)pheny1]-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-23-5 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

F3C-CH2-0

RN 823197-24-6 CAPLUS

CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-25-7 CAPLUS

CN Piperazine, 1-[[(28)-2-(formylhydroxyamino)-2-(tetrahydro-2H-pyran-4yl)ethyl]sulfonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

Erich Leese

RN 823197-26-8 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4[5-(2,2,2-trifluoroethoxy)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:161258 CAPLUS

DOCUMENT NUMBER: 132:207849

TITLE: Preparation of arylpiperazines as metalloproteinase

inhibiting agents (MMP)

INVENTOR(S): Barlaam, Bernard Christophe; Newcombe, Nicholas John;

Tucker, Howard; Waterson, David

PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma Sa PCT Int. Appl., 82 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

					KIND DATE								DATE					
	2000	O124 AE, CZ, IN, MG,	78 AL, DE, IS, MK,	AM, DK, JP, MN,	A1 AT, DM, KE, MW,	AU, EE, KG, MX,	AZ, ES, KP, NO,	0309 BA, FI, KR, NZ,	BB, GB, KZ, PL,	WO BG GD LC PT	1999- G, BR, D, GE, C, LK, C, RO,	GB28 BY, GH, LR, RU,	CA, GM, LS, SD,	HR, LT, SE,	CN, HU, LU,	ID, LV,	CU, IL, MD,	
		GH, ES,	GM, FI,	KE, FR,	LS, GB,	MW, GR,	SD, IE,	SL, IT,	SZ, LU,	MC	, VN, G, ZW, C, NL, I, TD,	AT, PT,	BE, SE,	CH, BF,	ВJ,	CF,	CG,	
CA	2339	761			A1		2000	0309		CA	1999-	2339	761		1	9990	825	
AU	9955	247			A	2000	0321		AU	1999-		19990825						
AU	7643	67			B2	2003	0814					19990825 19990825 19990825 19990825						
BR	9913	255			A	2001	0522		BR	1999-	1325		19990825					
EP	1109	787			A1	2001	0627		EΡ	1999-	9417	51		19990825				
EP	1109	787			B1		2006	0517										
	R:	AI,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
						FI,	RO,	CY										
TR	2001	0060	5		T2		2001	0821		TR	2001-	605			1	9990	825	
HU	2001	0033	44		A2	2002	0228	HU 2001-3344 EE 2001-106 JP 2000-567511 NZ 1999-509730 RU 2001-108591 NZ 1999-524921 AT 1999-941751 FT 1999-941751 ES 1999-941751 TW 1999-88114833 ZA 2001-1231 MY 2001-P21847						19990825				
HU	2001	0033	44		A3	2002	0328											
EE	2001	0010	6		A	2002	0617		EΕ	2001-		1	9990	825				
JP	2002	5234	93		T	2002	0730		JP	2000-		1	9990	825				
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RU	2220	967			C2	2004	0110		RU	2001-		19990825						
NZ	5249	524921				A 20041029					1999-		19990825					
AT	3264	326448				T 20060615					1999-		19990825					
PT	1109	1109787				T 20060929					1999-		19990825					
ES	2263	2263284					2006	1201		ES	1999-		19990825 19990830 20010213 20010220					
TW	2407	240722				B 20051001					1999-		19990830					
ZA	2001	0012	31		A		2002	0513		ZA	2001-	1231			2	0010	213	
MX	2001	PA01	847		A		2002	0408		MX	2001-	PA18	47		2	0010	220	
US	6734	184			B1		2004	0511		US	2001-	7637	09		2	0010	226	
KR	7714	54			B1		2007	1031		KR	2001-	7024	57		2	0010	226	
NO	2001001023				A	2001	0425	MA 2001-FAIS47 US 2001-763709 KR 2001-702457 NO 2001-1023 BG 2001-105369 HK 2001-106732 AU 2003-262101						20010228				
NO	3214	78			В1		2006	0515										
BG	G 105369					A 20011231					2001-		20010322					
HK	1036		A1	2006	1027		HK	2001-		20010924								
AU	2003	2621	01		A1		2003	1218		AU	2003-		2	0031	112			
US	2004	1716	41		A1 20040902					US 2004-787775						0040	226	
PRIORIT	Y APP	LN.								EP	1998-	4021	44	Ž	A 1	9980	831	

EP 1999-401351 A 19990604 WO 1999-GB2801 W 19990825 US 2001-763709 A1 20010226

OTHER SOURCE(S):

MARPAT 132:207849

AB The title compds. [I; B = monocyclic or bicyclic alkyl, aryl, etc.; R3 = H, halo, NO2. etc.; n = 1-3; P = (CE2) (wherein n = 0-2), alkene, alkyne, etc.; A = (un)substituted 5-7 membered aliphatic ring; X1, X2 = N, C, where a ring substituent on ring A is a oxo group that is preferably adjacent a ring N atom; Y = SO2, CO; Z = CONHOH, Y = CO and Q = CR6R7, CR68/CR2, NR6, NR6CH2 (wherein R6 = H, alkyl, aralkyl, etc.; R7 = H, alkyl, R7 together with R6 forms a carbocyclic or heterocyclic spiro 5-7 membered ring, the latter containing at least one heteroatom selected from N, O, S); Z = CONHOH, Y = SO2 and Q = CR6R7, CR6R7CH2; Z = N(OH)CHO and Q = CHR6, CHR6CH2, NR6CH2; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, aryl, etc.], useful as metalloproteinase inhibitors (no data), especially as inhibitors of MMP 13, in treating arthritis and atherosclerosis, were prepared E.g., a multi-step synthesis of the title piperazine II was given. Compds. I are effective at 0.5-30 mg/kg/dav.

IT 260439-08-5P 260439-96-1P 260440-00-4P 260440-21-9P 260441-00-7P 260441-01-8P 260441-05-9P 260441-03-0P 260441-04-1P 260441-05-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpiperazines as metalloproteinase inhibiting agents (MMP))

RN 260439-08-5 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-phenylbutyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

- RN 260439-96-1 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-2-phenylethyl]sulfonyl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

- RN 260440-00-4 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-2-phenylethyl]sulfonyl]-4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

- RN 260440-21-9 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-2-phenylethyl]sulfonyl]-4-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

- RN 260441-00-7 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-3-(phenylmethoxy)propy1]sulfony1]-4-(6-methoxy-4-pyrimidiny1)- (9C1) (CA INDEX NAME)

RN 260441-01-8 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(2-pyridinyl)butyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 260441-02-9 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(3-pyridinyl)butyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 260441-03-0 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-thienyl)pentyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 260441-04-1 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

- RN 260441-05-2 CAPLUS
- CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyridinyl)pentyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 18:12:09 ON 15 JAN 2008)

FILE 'REGISTRY' ENTERED AT 18:12:52 ON 15 JAN 2008

STRUCTURE UPLOADED L1 51 S L1 FULL L2

FILE 'CAPLUS' ENTERED AT 18:13:55 ON 15 JAN 2008

3 S L2 FULL L3

=> log y COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 31.71 210.74 FULL ESTIMATED COST DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION -2.40 -2.40 CA SUBSCRIBER PRICE

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